

We Claim:

1. 1. A process for the preparation of crystalline Form II of orlistat, the process
2 comprising:

3 preparing a solution of orlistat in one or more ethers; and

4 isolating the orlistat in the crystalline Form II from the solution thereof by the
5 removal of the ether.
1. 2. The process of claim 1, wherein the ether comprises one or more of diethyl ether,
2 diisopropyl ether, tert.-butyl-methyl ether, and tetrahydrofuran.
1. 3. The process of claim 2, wherein the ether is diisopropyl ether.
1. 4. The process of claim 1, wherein removing the ether comprises one or more of
2 distillation, distillation under vacuum, evaporation, filtration, filtration under
3 vacuum, decantation and centrifugation.
1. 5. The process of claim 1, wherein the solution of orlistat is obtained directly from a
2 reaction mixture in which orlistat is formed.
1. 6. The process according to claim 1, further comprising additional drying of the
2 product obtained.
1. 7. The process of claim 1, further comprising forming the product obtained into a
2 finished dosage form.
1. 8. The process of claim 1, wherein the orlistat Form II has the X-ray diffraction
2 pattern of Figure 4.
1. 9. The process of claim 1, wherein the orlistat Form II has the infrared spectrum of
2 Figure 5.
1. 10. The process of claim 1, wherein the orlistat Form II has the differential calorimetry
2 plot of Figure 6.
1. 11. A pharmaceutical composition comprising a therapeutically effective amount of
2 Form II orlistat obtained by the process of claim 1; and one or more
3 pharmaceutically acceptable carriers, excipients or diluents.

- 1 12. A method of treating or preventing obesity and hyperlaemia in a warm-blooded
2 animal comprising administering a pharmaceutical composition that includes a
3 crystalline Form II of orlistat obtained by the process of claim 1.
- 1 13. A process for the preparation of crystalline Form I of orlistat, the process
2 comprising:
3 obtaining a melt of orlistat; and
4 drying the melt to get the Form I of orlistat.
- 1 14. The process of claim 13, wherein the melt is obtained by heating the orlistat.
- 1 15. The process of claim 14, wherein the heating is performed at a temperature from
2 about 25°C to about 80°C.
- 1 16. The process of claim 15, wherein the heating temperature is from about 40°C to
2 about 50°C.
- 1 17. The process of claim 13, wherein the drying is performed under reduced pressure.
- 1 18. The process of claim 13 further comprising cooling before drying the melt.
- 1 19. The process of claim 13 further comprising forming the product obtained into
2 a finished dosage form.
- 1 20. The process of claim 13, wherein the orlistat Form I has the X-ray diffraction
2 pattern of Figure 1.
- 1 21. The process of claim 13, wherein the orlistat Form I has the infrared spectrum of
2 Figure 2.
- 1 22. The process of claim 13, wherein the orlistat Form I has the differential
2 calorimetry plot of Figure 3.
- 1 23. A pharmaceutical composition comprising a therapeutically effective amount of
2 Form I orlistat obtained by the process of claim 13; and one or more
3 pharmaceutically acceptable carriers, excipients or diluents.
- 1 24. A method of treating or preventing obesity and hyperlaemia in a warm-blooded
2 animal comprising administering a pharmaceutical composition that includes a
3 crystalline Form I of orlistat obtained by the process of claim 13.